

THE CLAIMS

What is claimed is:

1. A method of preparing a sustained release formulation of a peptide or peptidomimetic, which comprises associating the peptide or peptidomimetic with a counter-ion of a strong proton donor in an amount and at a molar ratio that are sufficient to provide a fluid, milky microcrystalline aqueous suspension of the peptide or peptidomimetic without formation of a gel, such that, when administered to a subject, the peptide is released *in vivo* over a period of at least two weeks.
2. The method of claim 1 wherein the counter-ion is a trifluoromethanesulfonic acid, benzenesulfonic acid, trifluoroacetic acid or sulfuric acid.
3. The method of claim 1 in which the counter-ion is a strong acid and the peptide is a GnRH analogue.
4. The method of claim 3 in which the GnRH analogue is a GnRH antagonist.
5. The method of claim 4 in which the GnRH antagonist is Ac-D-Nal-D-Cpa-D-Pal-Ser-Tyr-D-Hci-Leu-Ilys-Pro-D-Ala-NH₂.
6. The method of claim 4 in which the GnRH antagonist is Azaline B, Abarelix, Antide, Ganirelix, Cetrorelix, or FE200486 and is in the form of an alkylsulfonate, arylsulfonate, trifluoroacetate or sulfate salt.
7. The method of claim 1 in which the peptide is a somatostatin analogue.
8. The method of claim 1 in which the somatostatin analogue is Vapreotide, Octreotide, Lanreotide, or SOM 230.

9. The method of claim 1 wherein the peptide or peptidomimetic forms a salt with the counter-ion, and the salt is suspended in the aqueous medium at a concentration of at least 25 mg/ml.

10. The method of claim 9 in which the aqueous suspension is injected parenterally into a mammal or human subject to obtain a sustained release of the peptide or peptidomimetic over at least one month.

11. The method of claim 9 in which the amount of peptide or peptidomimetic in the suspension to be injected ranges from about 0.1 to 5mg per kg body weight of the mammal or human subject.

12. A fluid, milky microcrystalline aqueous suspension of a peptide or peptidomimetic and a counter-ion of a strong proton donor in water, wherein the peptide or peptidomimetic and counter-ion are present in amounts and at a molar ratio sufficient to form the suspension of the peptide or peptidomimetic upon mixing without formation of a gel.

13. The suspension of claim 12 wherein the counter-ion is trifluoromethanesulfonic acid, benzenesulfonic acid, trifluoroacetic acid, or sulfuric acid.

14. The suspension of claim 12 in which the counter-ion is a strong acid and the peptide is a GnRH analogue.

15. The suspension of claim 14 in which the GnRH analogue is a GnRH antagonist.

16. The suspension of claim 14 in which the GnRH antagonist is Ac-D-Nal-D-Cpa-D-Pal-Ser-Tyr-D-Hci-Leu-Ilys-Pro-D-Ala-NH₂.

17. The suspension of claim 14 in which the GnRH antagonist is Azaline B, Abarelix, Antide, Ganirelix, Cetrorelix, or FE200486 and is in the form of an alkylsulfonate, arylsulfonate, trifluoroacetate or sulfate salt.

18. The suspension of claim 12 in which the peptide is a somatostatin analogue.
19. The suspension of claim 18 in which the somatostatin analogue is Vapreotide, Octreotide, Lanreotide or SOM 230.
20. The suspension of claim 12 wherein the peptide or peptidomimetic forms a salt with the counter-ion, and the salt is suspended in the aqueous medium at a concentration of equal to or higher than 25 mg/ml.
21. The suspension of claim 12 in which the aqueous suspension contains an isotonic agent.
22. The suspension of claim 21 in which the isotonic agent is mannitol.
23. The suspension of claim 12 which further comprises a pharmaceutically acceptable excipient.
24. The suspension of claim 23 in which the amount of peptide or peptidomimetic ranges from about 0.1 to 5mg per kg body weight of a mammal or human to which the suspension is to be administered.
25. The suspension of claim 12 wherein in the form of microcrystals having a particle size of between about 1 and 150 μm .
25. A lyophilized composition comprising the dried suspension of claim 12.
27. A method of making the lyophilized composition of claim 25 which comprises associating the peptide or peptidomimetic with a counter-ion of a strong proton donor in an amount and at a molar ratio that are sufficient to provide the suspension without formation of a gel, and lyophilizing the suspension to obtain the composition.
28. A method of preparing a fluid, milky microcrystalline aqueous suspension of a peptide or peptidomimetic which comprises adding water or a

buffer solution to the lyophilized composition of claim 25 with mixing to obtain the suspension.

29. A method of preparing a fluid, milky microcrystalline aqueous suspension of a peptide or peptidomimetic which comprises associating the peptide or peptidomimetic with a counter-ion of a strong proton donor in an amount and at a molar ratio with the peptide that are sufficient to provide a fluid, milky microcrystalline aqueous suspension of the peptide or peptidomimetic without formation of a gel; lyophilizing the suspension to form a lyophilized composition; and adding water or a buffer solution to the lyophilized composition with mixing to obtain the suspension.